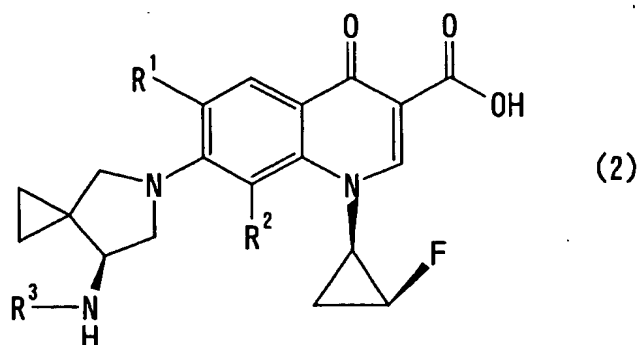


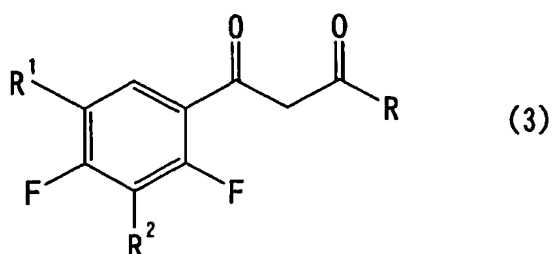
Claims

1. A process for producing a compound represented by formula (2):

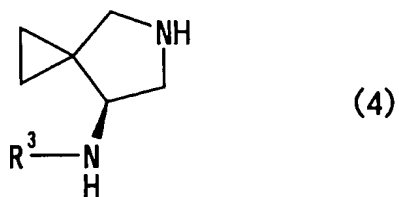


(wherein each of R¹ and R² independently represents a hydrogen atom, a fluorine atom, a chlorine atom, a C1-C6 alkyl group, or a C1-C6 alkoxy group; and R³ represents a hydrogen atom or an amino-group-protective group), the process comprising:

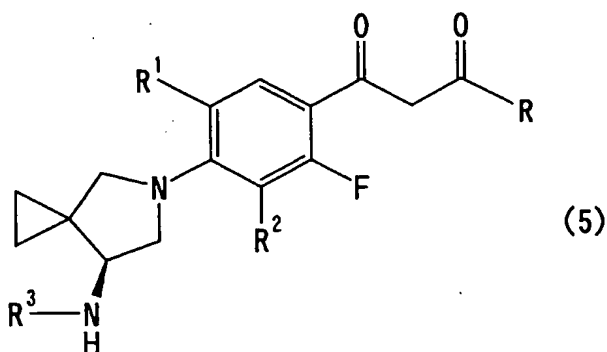
reacting a compound represented by formula (3):



(wherein R represents an aryloxy group, an aralkyloxy group, or a C1-C6 alkoxy group; and R¹ and R² have the same meanings as defined above) with a compound represented by formula (4):

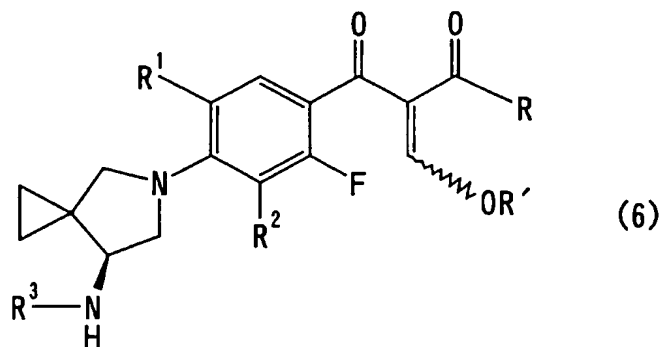


(wherein R^3 has the same meaning as defined above), to thereby yield a compound represented by formula (5):



(wherein R , R^1 , R^2 , and R^3 have the same meanings as defined above);

reacting the compound represented by formula (5) with an alkyl orthoformate, to thereby yield a compound represented by formula (6):

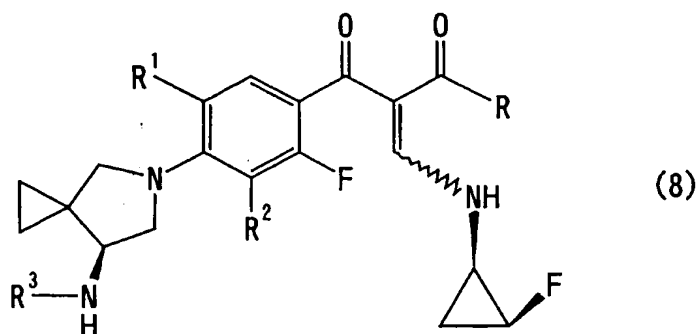


(wherein R' represents a C1-C6 alkyl group; and R , R^1 , R^2 , and R^3 have the same meanings as defined above);

reacting the compound represented by formula (6) with a compound represented by formula (7):



to thereby yield a compound represented by formula (8):



(wherein R, R¹, R², and R³ have the same meanings as defined above);

performing ring closure of the compound represented by formula (8); and

performing ester hydrolysis of the formed ring-closed compound.

2. A process as described in claim 1, wherein the compound represented by formula (4) is reacted in the presence of a base.

3. A process as described in claim 2, wherein the base is trimethylamine, triethylamine, 4-(dimethylamino)pyridine, ammonia, potassium carbonate, sodium carbonate, sodium hydroxide, or potassium hydroxide.

4. A process as described in claim 2, wherein the base is triethylamine.

5. A process as described in any one of claims 1 to 4, wherein the compound represented by formula (7) is reacted in the form of an acid-added salt thereof in the presence of a base.

6. A process as described in claim 5, wherein the salt of the compound represented by formula (7) is a salt thereof with hydrochloric acid, sulfuric acid, nitric acid, hydrofluoric acid, hydrobromic acid, hydriodic acid, p-toluenesulfonic acid, methanesulfonic acid, trifluoroacetic acid, acetic acid, formic acid, maleic acid, or fumaric acid.

7. A process as described in claim 5 or 6, wherein the base is trimethylamine, triethylamine, 4-(dimethylamino)pyridine, ammonia, potassium carbonate, sodium carbonate, sodium hydroxide, or potassium hydroxide.

8. A process as described in claim 5, wherein the salt of the compound represented by formula (7) is a p-toluenesulfonic acid salt and the base is triethylamine.

9. A process as described in any one of claims 1 to 8, wherein R^1 is a fluorine atom.

10. A process as described in any one of claims 1 to 9, wherein R^2 is a hydrogen atom.

11. A process as described in any one of claims 1 to 9, wherein R^2 is a methoxy group.

12. A process as described in any one of claims 1 to 11, wherein the amino-group-protective group represented by R^3 is a group selected from the group consisting of an alkoxycarbonyl group, an aralkyloxycarbonyl group, an acyl

group, an aralkyl group, an alkoxyalkyl group, and a substituted silyl group.

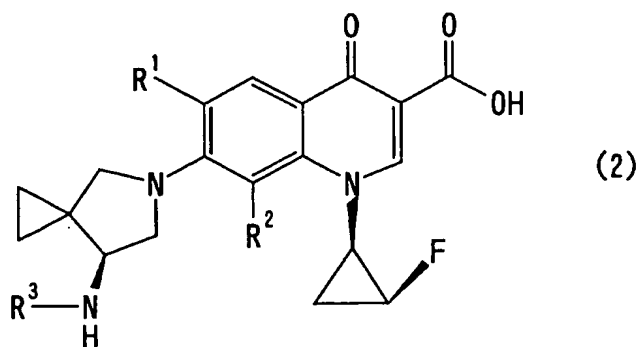
13. A process as described in claim 12, wherein the amino-group-protective group represented by R^3 is a group selected from the group consisting of an aralkyloxycarbonyl group and an acyl group.

14. A process as described in claim 13, wherein R^3 is a tert-butoxycarbonyl group or an acetyl group.

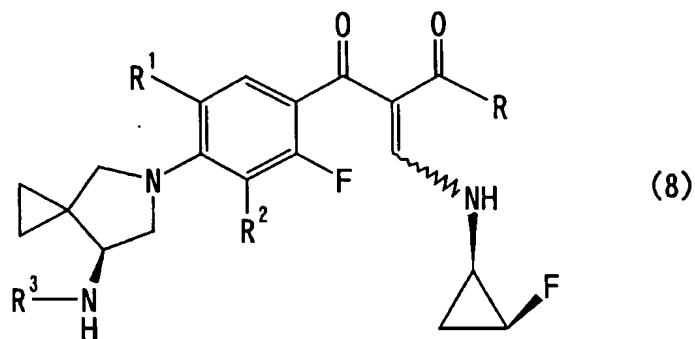
15. A process as described in any one of claims 1 to 14, wherein R is an ethoxy group or a methoxy group.

16. A process as described in any one of claims 1 to 15, wherein R' is an ethyl group or a methyl group.

17. A process for producing a compound represented by formula (2):



(wherein R^1 , R^2 , and R^3 have the same meanings as defined above) comprising performing ring closure of a compound represented by formula (8):



(wherein R, R¹, R², and R³ have the same meanings as defined above) and performing ester hydrolysis of the formed ring-closed compound.

18. A process as described in claim 17, wherein R¹ is a fluorine atom.

19. A process as described in claim 17 or 18, wherein R² is a hydrogen atom.

20. A process as described in claim 17 or 18, wherein R² is a methoxy group.

21. A process as described in any one of claims 17 to 20, wherein the amino-group-protective group represented by R³ is a group selected from the group consisting of an alkoxycarbonyl group, an aralkyloxycarbonyl group, an acyl group, an aralkyl group, an alkoxyalkyl group, and a substituted silyl group.

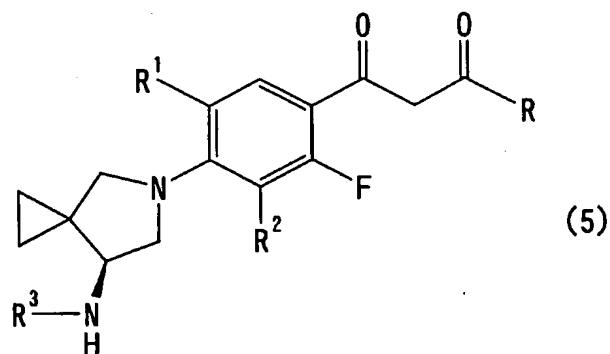
22. A process as described in claim 21, wherein the amino-group-protective group represented by R³ is a group selected from the group consisting of an aralkyloxycarbonyl group and an acyl group.

23. A process as described in claim 22, wherein R³ is a

tert-butoxycarbonyl group or an acetyl group.

24. A process as described in any one of claims 17 to 23, wherein R is an ethoxy group or a methoxy group.

25. A compound represented by formula (5):



(wherein R represents an aryloxy group, an aralkyloxy group, or a C1-C6 alkoxy group; each of R¹ and R² independently represents a hydrogen atom, a fluorine atom, a chlorine atom, a C1-C6 alkyl group, or a C1-C6 alkoxy group; and R³ represents a hydrogen atom or an amino-group-protective group); a salt thereof; a hydrate of the compound (5); or a hydrate of the salt.

26. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in claim 25, wherein R¹ is a fluorine atom.

27. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in claim 25 or 26, wherein R² is a hydrogen atom.

28. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in claim 25 or 26, wherein R² is a methoxy group.

30. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in any one of claims 25 to 29, wherein the amino-group-protective group represented by R^3 is a group selected from the group consisting of an alkoxycarbonyl group, an aralkyloxycarbonyl group, an acyl group, an aralkyl group, an alkoxyalkyl group, and a substituted silyl group.

32. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in any one of claims 25 to 28, wherein R³ is a tert-butoxycarbonyl group or an acetyl group.

R1c1cc(cc(c1F)N2CC[C@H](C3CC3)N2C)C(=O)C=C(C(=O)R)OR' (6)

(wherein R represents an aryloxy group, an aralkyloxy group, or a C1-C6 alkoxy group; each of R¹ and R² independently represents a hydrogen atom, a fluorine atom, a chlorine atom, a C1-C6 alkyl group, or a C1-C6 alkoxy group; R³ represents a hydrogen atom or an amino-group-protective group; and R' represents a C1-C6 alkyl group); a salt thereof; a hydrate of the compound (6); or a hydrate of the salt.

34. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in claim 33, wherein R¹ is a fluorine atom.

35. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in claim 33 or 34, wherein R² is a hydrogen atom.

36. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in claim 33 or 34, wherein R² is a methoxy group.

37. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in any one of claims 33 to 36, wherein R is an ethoxy group or a methoxy group.

38. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in any one of claims 33 to 37, wherein R' is an ethyl group or a methyl group.

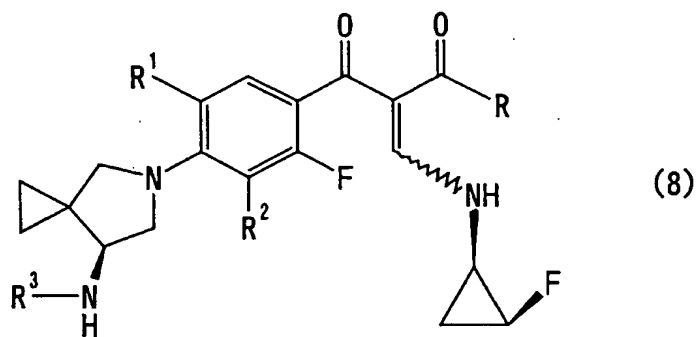
39. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in any one of claims 33 to 38, wherein the amino-group-protective group

represented by R^3 is a group selected from the group consisting of an alkoxycarbonyl group, an aralkyloxycarbonyl group, an acyl group, an aralkyl group, an alkoxyalkyl group, and a substituted silyl group.

40. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in claim 39, wherein R^3 is a group selected from the group consisting of an aralkyloxycarbonyl group or an acyl group.

41. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in any one of claims 33 to 38, wherein R^3 is a tert-butoxycarbonyl group or an acetyl group.

42. A compound represented by formula (8):



(wherein R represents an aryloxy group, an aralkyloxy group, or a C1-C6 alkoxy group; each of R^1 and R^2 independently represents a hydrogen atom, a fluorine atom, a chlorine atom, a C1-C6 alkyl group, or a C1-C6 alkoxy group; and R^3 represents a hydrogen atom or an amino-group-protective group); a salt thereof; a hydrate of the compound (8); or a hydrate of the salt.

43. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in claim 42, wherein R^1 is a fluorine atom.

44. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in claim 42 or 43, wherein R^2 is a hydrogen atom.

45. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in claim 42 or 43, wherein R^2 is a methoxy group.

46. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in any one of claims 42 to 45, wherein R is an ethoxy group or a methoxy group.

47. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in any one of claims 42 to 46, wherein the amino-group-protective group represented by R^3 is a group selected from the group consisting of an alkoxycarbonyl group, an aralkyloxycarbonyl group, an acyl group, an aralkyl group, an alkoxyalkyl group, and a substituted silyl group.

48. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in claim 47, wherein R^3 is a group selected from the group consisting of an aralkyloxycarbonyl group and an acyl group.

49. A compound, a salt thereof, a hydrate of the compound, or a hydrate of the salt as described in any one of claims 42 to 46, wherein R^3 is a tert-butoxycarbonyl group or

an acetyl group.